

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
12 April 2001 (12.04.2001)

PCT

(10) International Publication Number  
**WO 01/25275 A1**

(51) International Patent Classification<sup>7</sup>: C07K 14/47,  
A61P 35/00, 31/18, A61K 38/17

(21) International Application Number: PCT/GB00/03566

(22) International Filing Date:  
15 September 2000 (15.09.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
9921881.0 17 September 1999 (17.09.1999) GB

(71) Applicant and

(72) Inventor: HOLMS, Rupert, Donald [GB/GB]; 66 Regent's Park Road, London NW1 7SX (GB).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ,

DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

**Published:**

- With international search report.
- Before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments.

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: REGULATORY/UNFOLDING PEPTIDES OF EZRIN

(57) Abstract: This invention describes novel charged molecules which specifically bind to the Hepreceptor, a regulatory site which I have discovered in human ezrin. My invention is that when peptides or other charged molecules bind to the Hepreceptor, medically useful immune responses are induced. These charged molecules can be administered orally and by other routes for the treatment of various infectious diseases and cancer. I have determined that the Hepreceptor (human ezrin 308-373) comprises of two adjacent alpha helical domains which are folded together at a hinge region (M339-M340) and stabilised by complimentary side chain charges of the primary amino acid sequence in the soluble cytoplasmic conformation of ezrin. I have determined that in the unfolded membrane associated conformation of ezrin, the Hepreceptor is pushed through the cell membrane and is exposed on the outer surface of the cell. Hepreceptor-Domain A (amino acid numbers 308-339 of human ezrin), comprises of the following 32 amino acid sequence. SEQ ID 1 A R E E K H Q K Q L E R Q Q L E T E K K R R E T V E R E K E Q M Hepreceptor-Domain B (amino acid numbers 340-373 of human ezrin), comprises of the following 34 amino acid sequence (Tyrosine 353 [Y] may be phosphorylated to phosphotyrosine [Yp] in the membrane associated conformation of ezrin): SEQ ID 2 M R E K E E L M L R L Q D Y(p) E E K T K K A E R E L S E Q I Q R A L Q.

WO 01/25275 A1